

Uploading C:\Program Files\Stnexp\Queries\rkc461.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> d 11 ful

L1 HAS NO ANSWERS

'FUL' IS NOT A VALID STRUCTURE FORMAT KEYWORD

Structure Formats

SIA ----- Structure Image, Attributes, and map table if it contains data. (Default)

SIM ----- Structure IMage.

SAT ----- Structure ATtributes and map table if it contains data.

SCT ----- Structure Connection Table and map table if it contains data.

SDA ----- All Structure DATA (image, attributes, connection table and map table if it contains data).

NOS ----- NO Structure data.

ENTER STRUCTURE FORMAT (SIM), NOS:sim

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful

FULL SEARCH INITIATED 15:05:36 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 514 TO ITERATE

100.0% PROCESSED 514 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

L2 5 SEA SSS FUL L1

=> d 1-5

L2 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN

RN 311780-23-1 REGISTRY

ED Entered STN: 28 Dec 2000

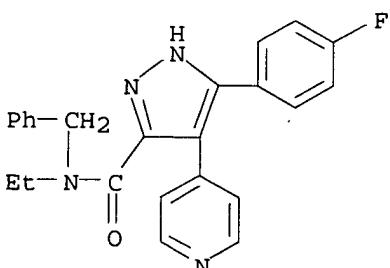
CN 1H-Pyrazole-3-carboxamide, N-ethyl-5-(4-fluorophenyl)-N-(phenylmethyl)-4-(4-pyridinyl)- (9CI). (CA INDEX NAME)

FS 3D CONCORD

MF C24 H21 F N4 O

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

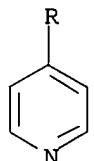
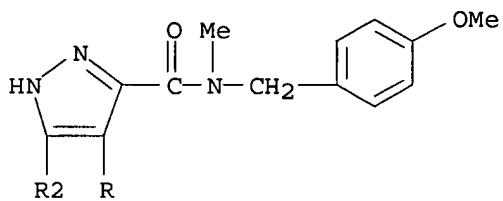


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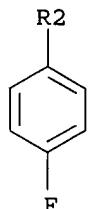
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN
RN 311780-22-0 REGISTRY
ED Entered STN: 28 Dec 2000
CN 1H-Pyrazole-3-carboxamide, 5-(4-fluorophenyl)-N-[(4-methoxyphenyl)methyl]-
N-methyl-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)
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SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



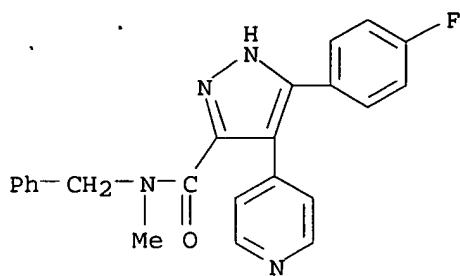
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN
RN 311780-21-9 REGISTRY
ED Entered STN: 28 Dec 2000
CN 1H-Pyrazole-3-carboxamide, 5-(4-fluorophenyl)-N-methyl-N-(phenylmethyl)-4-
(4-pyridinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H19 F N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

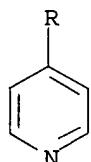
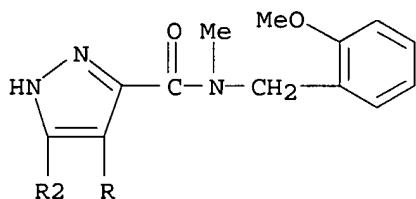


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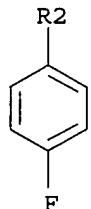
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 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 311780-20-8 REGISTRY
 ED Entered STN: 28 Dec 2000
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 FS 3D CONCORD
 MF C24 H21 F N4 O2
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



PAGE 2-A



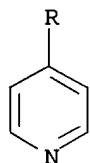
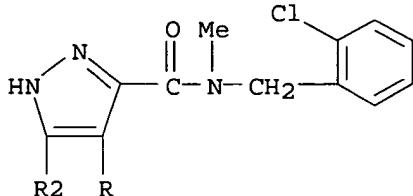
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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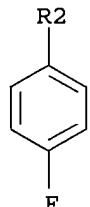
L2 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 311780-19-5 REGISTRY

ED Entered STN: 28 Dec 2000
CN 1H-Pyrazole-3-carboxamide, N-[(2-chlorophenyl)methyl]-5-(4-fluorophenyl)-N-methyl-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H18 Cl F N4 O
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

PAGE 1-A



PAGE 2-A



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	170.96	171.17

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FILE COVERS 1907 - 7 Jun 2005 VOL 142 ISS 24
FILE LAST UPDATED: 6 Jun 2005 (20050606/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12
L3 1 L2

=> d fbib abs fhitsr

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:881141 CAPLUS
DN 134:29414
TI Preparation of substituted pyrazole compounds as p38 MAP kinase inhibitors
IN Minami, Nobuyoshi; Sato, Michitaka; Hasumi, Koichi; Yamamoto, Norio;
Keino, Katsuyuki; Matsui, Teruaki; Kanada, Arihiro; Ohta, Shuji; Saito,
Takahisa; Sato, Shuichiro; Asagarasu, Akira; Doi, Satoshi; Kobayashi,
Motohiro; Sato, Jun; Asano, Hajime
PA Teikoku Hormone Mfg. Co., Ltd., Japan
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2

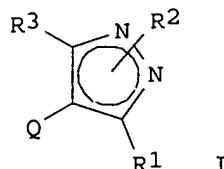
DT Patent
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075131	A1	20001214	WO 2000-JP3547	20000601
	W: AU, CA, CN, JP, KR, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			JP 1999-156683 JP 1999-157011 CA 2000-2375986 JP 1999-156683 JP 1999-157011 WO 2000-JP3547	A 19990603 A 19990603 20000601 A 19990603 A 19990603 W 20000601
	CA 2375986	AA	20001214		
	EP 1188754	A1	20020320	EP 2000-931639	20000601
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			JP 1999-156683 JP 1999-157011 WO 2000-JP3547	A 19990603 A 19990603 W 20000601
	AU 766079	B2	20031009	AU 2000-49522 JP 1999-156683 JP 1999-157011 WO 2000-JP3547	20000601 A 19990603 A 19990603
	US 6667325	B1	20031223	US 2001-980579 JP 1999-156683 JP 1999-157011 WO 2000-JP3547	20011203 A 19990603 A 19990603
	US 2004087628	A1	20040506	US 2003-693461 JP 1999-156683 JP 1999-157011 WO 2000-JP3547	20031027 A 19990603 A 19990603
				US 2001-980579	W 20000601
					A3 20011203

OS MARPAT 134:29414

GI



AB Substituted pyrazole compds. of general formula (I; wherein R1 is -CH(OH)-CH(R4)-(A)n-Y, -CH2-CH(R4)-(A)n-Y, -CO-B1-A-Y, or the like (wherein A is lower alkylene; Y is aryl which may be substituted with, e.g., halogeno, or the like; R4 is hydrogen or lower alkyl; B1 is -CH(R4)- or -N(R4)-; and n is 0 or 1); R2 is hydrogen, lower alkyl which may be substituted with hydroxyl or the like, or aralkyl; R3 is Ph which may be substituted with halogeno or the like, or pyridyl; and Q is pyridyl or quinolyl) or salts thereof are prepared. These compds. exhibit an excellent p38 MAP kinase inhibiting effect and are useful in the prevention or treatment of tumor necrosis factor α -related diseases, interleukin 1-related diseases, interleukin 6-related diseases, or cyclooxygenase II-related diseases. The above diseases include chronic articular rheumatism, multiple sclerosis, osteoarthritis (arthrosis deformans), psoriasis, HIV, asthma, septic shock, inflammatory intestinal disease, Crohn's disease, Alzheimer's disease, diabetes, cachexia, osteoporosis, graft-vs.-host disease, adult respiratory distress syndrome, arteriosclerosis, gout, glomerulus nephritis (glomerulonephritis), ischemic heart failure, ulcerative colitis, septicemia, cerebral malaria, restenosis, nephritis, systemic lupus erythematosus, thrombosis, bone resorption disease, chronic pulmonary inflammation disease, heart or kidney reperfusion disorder, cancer, Reiter's syndrome, imminent abortion, eczema, homograft rejection, seizure, fever, Behcet's disease, neuralgia, meningitis, sunburn, contact dermatitis, acute synovitis, spondylitis, muscle degeneration, neovascularization, conjunctivitis, psoriatic arthritis, viral myocarditis, pancreatitis, hemorrhage, arthritis, endotoxin shock, parasitic infection, tuberculosis, myocardial infarction, Hansen's disease, diabetic conjunctivitis, irritable bowel syndrome, transplant rejection, burn, bronchitis, ischemic heart disease, pneumonia, remission of swelling, backache (low back pain), pharyngolaryngitis, Kawasaki disease, spinal cord disease, atopic dermatitis, etc. Thus, 3(5)-(4-fluorophenyl)-5(3)-(3-phenylpropyl)-4-(4-pyridyl)pyrazole was dissolved in DMF, treated with NaH at room temperature for 40 min, and alkylated by 2-benzyloxyethyl methanesulfonate at room temperature for 3 h, followed by hydrogenolysis over Pd(OH)2 (Pearlman catalyst) in EtOH and cyclohexane to give a mixture of 5-(4-fluorophenyl)-1-(2-hydroxyethyl)-3-(3-phenylpropyl)-4-(4-pyridyl)pyrazole and 3-(4-fluorophenyl)-1-(2-hydroxyethyl)-5-(3-phenylpropyl)-4-(4-pyridyl)pyrazole. The latter compds. and 3(5)-(4-fluorophenyl)-4-(4-pyridyl)-5(3)-[3-(3-pyridyl)propyl]pyrazole showed IC50 of 0.042 and 0.0000115 nM against p38 MAP kinase, resp.

IT

311780-19-5P

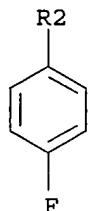
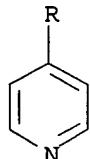
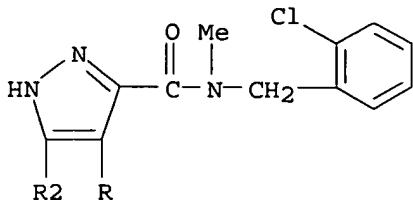
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrazole compds. as inhibitors of p38 MAP kinase, necrosis factor α , interleukin 1, interleukin 6, or cyclooxygenase II for therapeutics)

RN

311780-19-5 CAPLUS

CN

1H-Pyrazole-3-carboxamide, N-[(2-chlorophenyl)methyl]-5-(4-fluorophenyl)-N-methyl-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil reg	COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST		5.84	177.01
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)		SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE		-0.73	-0.73

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STRUCTURE FILE UPDATES: 6 JUN 2005 HIGHEST RN 851745-60-3
DICTIONARY FILE UPDATES: 6 JUN 2005 HIGHEST RN 851745-60-3

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *

*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading C:\Program Files\Stnexp\Queries\rkc461b.str

L4 STRUCTURE UPLOADED

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FULL SEARCH INITIATED 15:08:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 514 TO ITERATE

100.0% PROCESSED 514 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

L5 5 SEA SSS FUL L4

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
SESSION
FULL ESTIMATED COST ENTRY 161.76 SESSION 338.77

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
SESSION
CA SUBSCRIBER PRICE ENTRY 0.00 SESSION -0.73

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FILE COVERS 1907 - 7 Jun 2005 VOL 142 ISS 24
FILE LAST UPDATED: 6 Jun 2005 (20050606/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15
L6 1 L5

=> d fbib abs fhitstr

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:881141 CAPLUS
DN 134:29414
TI Preparation of substituted pyrazole compounds as p38 MAP kinase inhibitors
IN Minami, Nobuyoshi; Sato, Michitaka; Hasumi, Koichi; Yamamoto, Norio;
Keino, Katsuyuki; Matsui, Teruaki; Kanada, Arihiro; Ohta, Shuji; Saito,

PA Takahisa; Sato, Shuichiro; Asagarusu, Akira; Doi, Satoshi; Kobayashi,
Motohiro; Sato, Jun; Asano, Hajime
SO Teikoku Hormone Mfg. Co., Ltd., Japan
PCT Int. Appl., 85 pp.

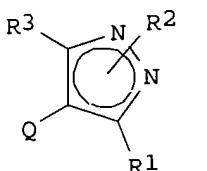
CODEN: PIXXD2

DT Patent
LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000075131	A1	20001214	WO 2000-JP3547	20000601
W: AU, CA, CN, JP, KR, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			JP 1999-156683 JP 1999-157011 CA 2000-2375986 JP 1999-156683 JP 1999-157011 WO 2000-JP3547	A 19990603 A 19990603 20000601 A 19990603 A 19990603 W 20000601
CA 2375986	AA	20001214	EP 2000-931639	20000601
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			JP 1999-156683 JP 1999-157011 WO 2000-JP3547	A 19990603 A 19990603 W 20000601
AU 766079	B2	20031009	AU 2000-49522 JP 1999-156683 JP 1999-157011 WO 2000-JP3547	20000601 A 19990603 A 19990603 W 20000601
US 6667325	B1	20031223	US 2001-980579 JP 1999-156683 JP 1999-157011 WO 2000-JP3547	20011203 A 19990603 A 19990603 W 20000601
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OS OS MARPAT 134:29414			US 2001-980579	A3 20011203

GI



AB Substituted pyrazole compds. of general formula (I; wherein R1 is -CH(OH)-CH(R4)-(A)n-Y, -CH2-CH(R4)-(A)n-Y, -CO-B1-A-Y, or the like (wherein A is lower alkylene; Y is aryl which may be substituted with, e.g., halogeno, or the like; R4 is hydrogen or lower alkyl; B1 is -CH(R4)- or -N(R4)-; and n is 0 or 1); R2 is hydrogen, lower alkyl which may be substituted with hydroxyl or the like, or aralkyl; R3 is Ph which may be substituted with halogeno or the like, or pyridyl; and Q is pyridyl or quinolyl) or salts thereof are prepared. These compds. exhibit an excellent p38 MAP kinase inhibiting effect and are useful in the prevention or treatment of tumor necrosis factor α -related diseases, interleukin 1-related diseases, interleukin 6-related diseases, or cyclooxygenase II-related diseases. The above diseases include chronic articular rheumatism, multiple sclerosis, osteoarthritis (arthrosis deformans), psoriasis, HIV, asthma, septic shock, inflammatory intestinal disease, Crohn's disease, Alzheimer's disease, diabetes, cachexia, osteoporosis, graft-vs.-host disease, adult respiratory distress syndrome,

arteriosclerosis, gout, glomerulus nephritis (glomerulonephritis), ischemic heart failure, ulcerative colitis, septicemia, cerebral malaria, restenosis, nephritis, systemic lupus erythematosus, thrombosis, bone resorption disease, chronic pulmonary inflammation disease, heart or kidney reperfusion disorder, cancer, Reiter's syndrome, imminent abortion, eczema, homograft rejection, seizure, fever, Behcet's disease, neuralgia, meningitis, sunburn, contact dermatitis, acute synovitis, spondylitis, muscle degeneration, neovascularization, conjunctivitis, psoriatic arthritis, viral myocarditis, pancreatitis, hemorrhage, arthritis, endotoxin shock, parasitic infection, tuberculosis, myocardial infarction, Hansen's disease, diabetic conjunctivitis, irritable bowel syndrome, transplant rejection, burn, bronchitis, ischemic heart disease, pneumonia, remission of swelling, backache (low back pain), pharyngolaryngitis, Kawasaki disease, spinal cord disease, atopic dermatitis, etc. Thus, 3(5)-(4-fluorophenyl)-5(3)-(3-phenylpropyl)-4-(4-pyridyl)pyrazole was dissolved in DMF, treated with NaH at room temperature for 40 min, and alkylated by 2-benzyloxyethyl methanesulfonate at room temperature for 3 h, followed by hydrogenolysis over Pd(OH)₂ (Pearlman catalyst) in EtOH and cyclohexane to give a mixture of 5-(4-fluorophenyl)-1-(2-hydroxyethyl)-3-(3-phenylpropyl)-4-(4-pyridyl)pyrazole and 3-(4-fluorophenyl)-1-(2-hydroxyethyl)-5-(3-phenylpropyl)-4-(4-pyridyl)pyrazole. The latter compds. and 3(5)-(4-fluorophenyl)-4-(4-pyridyl)-5(3)-[3-(3-pyridyl)propyl]pyrazole showed IC₅₀ of 0.042 and 0.0000115 nM against p38 MAP kinase, resp.

IT

311780-24-2P

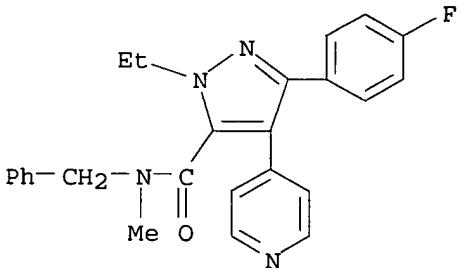
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted pyrazole compds. as inhibitors of p38 MAP kinase, necrosis factor α , interleukin 1, interleukin 6, or cyclooxygenase II for therapeutics)

RN

311780-24-2 CAPLUS

CN

1H-Pyrazole-5-carboxamide, 1-ethyl-3-(4-fluorophenyl)-N-methyl-N-(phenylmethyl)-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RE.CNT 17

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY	SESSION
	5.39	344.16
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
CA SUBSCRIBER PRICE	ENTRY	SESSION
	-0.73	-1.46

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DICTIONARY FILE UPDATES: 6 JUN 2005 HIGHEST RN 851745-60-3

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
Uploading C:\Program Files\Stnexp\Queries\rkc461c.str

L7 STRUCTURE UPLOADED

=> s 17 ful
FULL SEARCH INITIATED 15:10:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 658 TO ITERATE

100.0% PROCESSED 658 ITERATIONS 16 ANSWERS
SEARCH TIME: 00.00.01

L8 16 SEA SSS FUL L7

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 162.19 506.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL
ENTRY SESSION
CA SUBSCRIBER PRICE 0.00 -1.46

FILE 'CAPLUS' ENTERED AT 15:10:39 ON 07 JUN 2005
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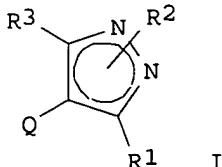
L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:881141 CAPLUS
DN 134:29414
TI Preparation of substituted pyrazole compounds as p38 MAP kinase inhibitors
IN Minami, Nobuyoshi; Sato, Michitaka; Hasumi, Koichi; Yamamoto, Norio;
Keino, Katsuyuki; Matsui, Teruaki; Kanada, Arihiro; Ohta, Shuji; Saito,
Takahisa; Sato, Shuichiro; Asagarasu, Akira; Doi, Satoshi; Kobayashi,
Motohiro; Sato, Jun; Asano, Hajime
PA Teikoku Hormone Mfg. Co., Ltd., Japan
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2

DT Patent
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000075131	A1	20001214	WO 2000-JP3547	20000601
	W: AU, CA, CN, JP, KR, US RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			JP 1999-156683 JP 1999-157011	A 19990603 A 19990603
	CA 2375986	AA	20001214	CA 2000-2375986 JP 1999-156683 JP 1999-157011	20000601 A 19990603 A 19990603
	EP 1188754	A1	20020320	WO 2000-JP3547	W 20000601
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI			EP 2000-931639	20000601
				JP 1999-156683 JP 1999-157011	A 19990603 A 19990603
	AU 766079	B2	20031009	WO 2000-JP3547	W 20000601
				AU 2000-49522 JP 1999-156683 JP 1999-157011	20000601 A 19990603 A 19990603
	US 6667325	B1	20031223	WO 2000-JP3547	W 20000601
				US 2001-980579 JP 1999-156683 JP 1999-157011	20011203 A 19990603 A 19990603
	US 2004087628	A1	20040506	WO 2000-JP3547	W 20000601
				US 2003-693461 JP 1999-156683 JP 1999-157011	20031027 A 19990603 A 19990603
				WO 2000-JP3547	W 20000601
				US 2001-980579	A3 20011203

OS MARPAT 134:29414
GI



AB Substituted pyrazole compds. of general formula (I; wherein R1 is -CH(OH)-CH(R4)-(A)n-Y, -CH₂-CH(R4)-(A)n-Y, -CO-B1-A-Y, or the like (wherein A is lower alkylene; Y is aryl which may be substituted with, e.g., halogeno, or the like; R4 is hydrogen or lower alkyl; B1 is -CH(R4)- or -N(R4)-; and n is 0 or 1); R2 is hydrogen, lower alkyl which may be substituted with hydroxyl or the like, or aralkyl; R3 is Ph which may be substituted with halogeno or the like, or pyridyl; and Q is pyridyl or quinolyl) or salts thereof are prepared. These compds. exhibit an excellent p38 MAP kinase inhibiting effect and are useful in the prevention or treatment of tumor necrosis factor α -related diseases, interleukin 1-related diseases, interleukin 6-related diseases, or cyclooxygenase II-related diseases. The above diseases include chronic articular rheumatism, multiple sclerosis, osteoarthritis (arthrosis deformans), psoriasis, HIV, asthma, septic shock, inflammatory intestinal disease, Crohn's disease, Alzheimer's disease, diabetes, cachexia, osteoporosis, graft-vs.-host disease, adult respiratory distress syndrome, arteriosclerosis, gout, glomerulus nephritis (glomerulonephritis), ischemic heart failure, ulcerative colitis, septicemia, cerebral malaria, restenosis, nephritis, systemic lupus erythematosus, thrombosis, bone resorption disease, chronic pulmonary inflammation disease, heart or kidney reperfusion disorder, cancer, Reiter's syndrome, imminent abortion, eczema, homograft rejection, seizure, fever, Behcet's disease, neuralgia, meningitis, sunburn, contact dermatitis, acute synovitis, spondylitis, muscle degeneration, neovascularization, conjunctivitis, psoriatic arthritis, viral myocarditis, pancreatitis, hemorrhage, arthritis, endotoxin shock, parasitic infection, tuberculosis, myocardial infarction, Hansen's disease, diabetic conjunctivitis, irritable bowel syndrome, transplant rejection, burn, bronchitis, ischemic heart disease, pneumonia, remission of swelling, backache (low back pain), pharyngolaryngitis, Kawasaki disease, spinal cord disease, atopic dermatitis, etc. Thus, 3(5)-(4-fluorophenyl)-5(3)-(3-phenylpropyl)-4-(4-pyridyl)pyrazole was dissolved in DMF, treated with NaH at room temperature for 40 min, and alkylated by 2-benzyloxyethyl methanesulfonate at room temperature for 3 h, followed by hydrogenolysis over Pd(OH)₂ (Pearlman catalyst) in EtOH and cyclohexane to give a mixture of 5-(4-fluorophenyl)-1-(2-hydroxyethyl)-3-(3-phenylpropyl)-4-(4-pyridyl)pyrazole and 3-(4-fluorophenyl)-1-(2-hydroxyethyl)-5-(3-phenylpropyl)-4-(4-pyridyl)pyrazole. The latter compds. and 3(5)-(4-fluorophenyl)-4-(4-pyridyl)-5(3)-[3-(3-pyridyl)propyl]pyrazole showed IC₅₀ of 0.042 and 0.0000115 nM against p38 MAP kinase, resp.

IT

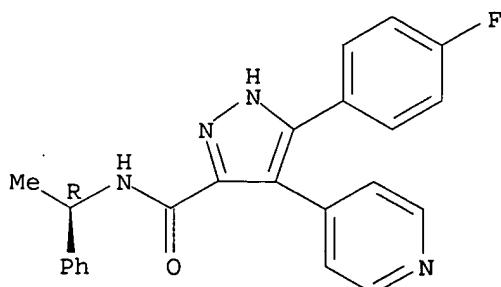
311780-13-9P

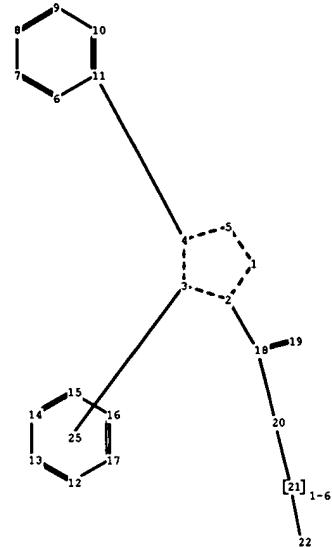
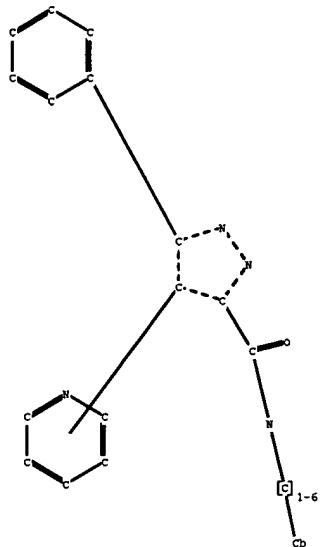
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted pyrazole compds. as inhibitors of p38 MAP kinase, necrosis factor α , interleukin 1, interleukin 6, or cyclooxygenase II for therapeutics)

RN 311780-13-9 CAPLUS

CN 1H-Pyrazole-3-carboxamide, 5-(4-fluorophenyl)-N-[(1R)-1-phenylethyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



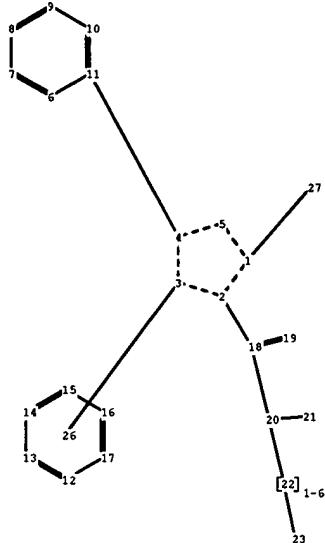
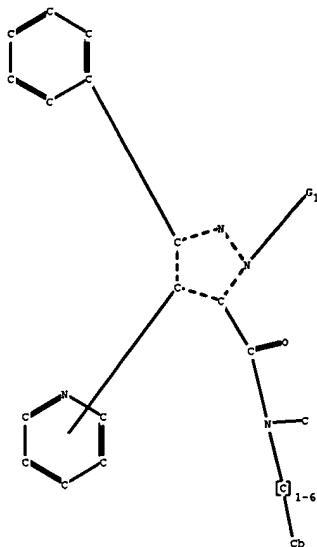


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ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
 2-18 4-11 18-19 18-20 20-21 21-22
ring bonds :
 1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17
 13-14 14-15 15-16 16-17
exact/norm bonds :
 1-2 1-5 2-3 3-4 4-5 18-19 18-20 20-21
exact bonds :
 2-18 4-11 21-22
normalized bonds :
 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
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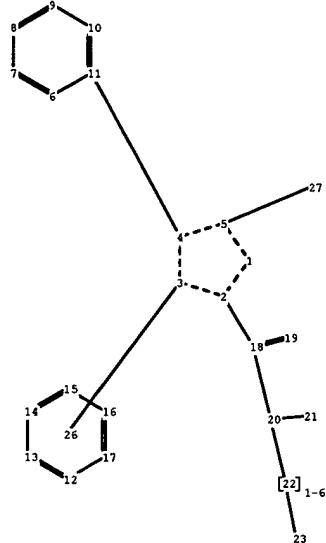
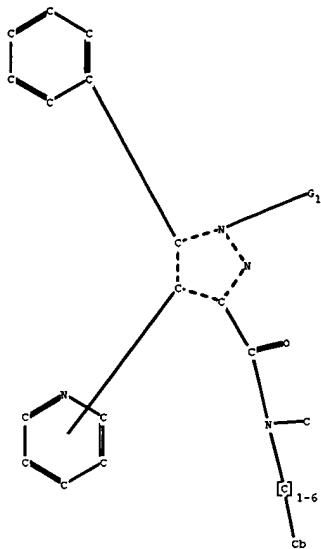
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chain nodes :
 18 19 20 21 22 23 27
 ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
 chain bonds :
 1-27 2-18 4-11 18-19 18-20 20-21 20-22 22-23
 ring bonds :
 1-2 1-5 2-3 3-4 4-5 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17
 13-14 14-15 15-16 16-17
 exact/norm bonds :
 1-2 1-5 1-27 2-3 3-4 4-5 18-19 18-20 20-21 20-22
 exact bonds :
 2-18 4-11 22-23
 normalized bonds :
 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17

G1:H,CH3,Et,n-Pr,n-Bu,NH2

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom
 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:Atom 26:CLASS
 27:CLASS



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chain nodes :
 18 19 20 21 22 23 27
ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
 2-18 4-11 5-27 18-19 18-20 20-21 20-22 22-23
ring bonds :
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 13-14 14-15 15-16 16-17
exact/norm bonds :
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exact bonds :
 2-18 4-11 22-23
normalized bonds :
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G1:H,CH3,Et,n-Pr,n-Bu,NH2

Match level :

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1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom
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